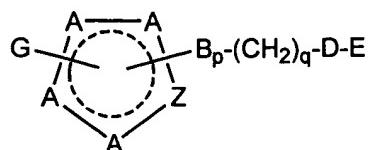


**WHAT IS CLAIMED IS:**

1 1. A compound having the formula:



2  
3 or a pharmaceutically acceptable salt, ester, or prodrug thereof,  
4 wherein

5 A, at each occurrence, independently is carbon, carbonyl, or nitrogen, provided at least  
6 one A is carbon;

7 Z is carbon, nitrogen, oxygen, or sulfur;

8 B is selected from the group consisting of O, NR<sup>2</sup>, S(O)<sub>r</sub>, C=O, C=S, and C=NOR<sup>3</sup>,

9 p is 0 or 1;

10 q, at each occurrence, independently is 0 or 1;

11 r is 0, 1, or 2;

12 R<sup>2</sup>, at each occurrence, independently is selected from the group consisting of:

13 a) hydrogen, b) S(O)<sub>r</sub>R<sup>4</sup>, c) formyl, d) C<sub>1-8</sub> alkyl, e) C<sub>2-8</sub> alkenyl, f) C<sub>2-8</sub> alkynyl,  
14 g) C<sub>1-8</sub> alkoxy, h) C<sub>1-8</sub> alkylthio, i) C<sub>1-8</sub> acyl, j) saturated, unsaturated, or aromatic  
15 C<sub>3-8</sub> carbocycle, and k) saturated, unsaturated, or aromatic 5-10 membered  
16 heterocycle containing one or more heteroatoms selected from the group  
17 consisting of nitrogen, oxygen, and sulfur,

18 wherein any of d) – k) optionally is substituted with one or more moieties  
19 selected from the group consisting of carbonyl, aryl, substituted aryl,  
20 heteroaryl, substituted heteroaryl, F, Cl, Br, I, CN, NO<sub>2</sub>, -NR<sup>3</sup>R<sup>3</sup>, -OR<sup>3</sup>,  
21 -S(O)<sub>r</sub>R<sup>4</sup>, -S(O)<sub>r</sub>NR<sup>3</sup>R<sup>3</sup>, -C(O)R<sup>3</sup>, -C(O)OR<sup>3</sup>, -OC(O)R<sup>3</sup>, -C(O)NR<sup>3</sup>R<sup>3</sup>, and  
22 -OC(O)NR<sup>3</sup>R<sup>3</sup>;

23 alternatively, two R<sup>2</sup> groups, taken together with the atom to which they are bonded, form

24 i) 5-8 membered saturated or unsaturated carbocycle, or ii) 5-8 membered saturated or  
25 unsaturated heterocycle containing one or more atoms selected from the group consisting of  
26 nitrogen, oxygen, and sulfur,

27 wherein i) – ii) optionally is substituted with one or more moieties selected from  
28 the group consisting of carbonyl, F, Cl, Br, I, CN, NO<sub>2</sub>, -NR<sup>3</sup>R<sup>3</sup>, -OR<sup>3</sup>, -S(O)<sub>r</sub>R<sup>4</sup>,

29           -S(O)<sub>r</sub>NR<sup>3</sup>R<sup>3</sup>, -C(O)R<sup>3</sup>, -C(O)OR<sup>3</sup>, -OC(O)R<sup>3</sup>, -C(O)NR<sup>3</sup>R<sup>3</sup>, -OC(O)NR<sup>3</sup>R<sup>3</sup>,  
30           C<sub>1-6</sub> acyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;  
31           R<sup>3</sup>, at each occurrence, independently is selected from the group consisting of:  
32           a) hydrogen, b) C<sub>1-8</sub> alkyl, c) C<sub>2-8</sub> alkenyl, d) C<sub>2-8</sub> alkynyl, e) C<sub>1-8</sub> acyl,  
33           f) saturated, unsaturated, or aromatic C<sub>3-8</sub> carbocycle, and g) saturated,  
34           unsaturated, or aromatic 5-10 membered heterocycle containing one or more  
35           heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,  
36           wherein any of b) – h) optionally is substituted with one or more moieties  
37           selected from the group consisting of carbonyl, F, Cl, Br, I, CN, NO<sub>2</sub>,  
38           -NR<sup>6</sup>R<sup>6</sup>, -OR<sup>6</sup>, -S(O)<sub>r</sub>R<sup>6</sup>, -S(O)<sub>r</sub>NR<sup>6</sup>R<sup>6</sup>, -C(O)R<sup>6</sup>, -C(O)OR<sup>6</sup>, -OC(O)R<sup>6</sup>,  
39           -C(O)NR<sup>6</sup>R<sup>6</sup>, -OC(O)NR<sup>6</sup>R<sup>6</sup>, C<sub>1-6</sub> acyl, aryl, substituted aryl, heteroaryl,  
40           and substituted heteroaryl;  
41           alternatively, two R<sup>3</sup> groups, taken together with the atom to which they are bonded, form  
42           i) a 5-7 membered saturated or unsaturated carbocycle, or ii) a 5-7 membered saturated or  
43           unsaturated heterocyclocl containing one or more atoms selected from the group consisting of  
44           nitrogen, oxygen, and sulfur,  
45           wherein i) - ii) optionally is substituted with one or more moieties selected from  
46           the group consisting of carbonyl, F, Cl, Br, I, CN, NO<sub>2</sub>, -NR<sup>6</sup>R<sup>6</sup>, -OR<sup>6</sup>, -S(O)<sub>r</sub>R<sup>6</sup>,  
47           -S(O)<sub>r</sub>NR<sup>6</sup>R<sup>6</sup>, -C(O)R<sup>6</sup>, -C(O)OR<sup>6</sup>, -OC(O)R<sup>6</sup>, -C(O)NR<sup>6</sup>R<sup>6</sup>, -OC(O)NR<sup>6</sup>R<sup>6</sup>,  
48           C<sub>1-6</sub> acyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;  
49           R<sup>4</sup> is selected from the group consisting of:  
50           a) hydrogen, b) -NR<sup>3</sup>R<sup>3</sup>, c) -NR<sup>3</sup>OR<sup>3</sup>, d) -NR<sup>3</sup>NR<sup>3</sup>R<sup>3</sup> e) -NHC(O)R<sup>3</sup>,  
51           f) -C(O)NR<sup>3</sup>R<sup>3</sup>, g) -N<sub>3</sub>, h) C<sub>1-8</sub> alkyl, i) C<sub>2-8</sub> alkenyl, j) C<sub>2-8</sub> alkynyl, k) saturated,  
52           unsaturated, or aromatic C<sub>3-8</sub> carbocycle, and l) saturated, unsaturated, or aromatic  
53           5-10 membered heterocycle containing one or more heteroatoms selected from the  
54           group consisting of nitrogen, oxygen, and sulfur,  
55           wherein any of h) – l) optionally is substituted with one or more moieties  
56           selected from the group consisting of carbonyl, F, Cl, Br, I, CN, NO<sub>2</sub>,  
57           -NR<sup>3</sup>R<sup>3</sup>, -OR<sup>3</sup>, -SR<sup>3</sup>, -S(O)<sub>r</sub>R<sup>5</sup>, -S(O)<sub>r</sub>NR<sup>3</sup>R<sup>3</sup>, -C(O)R<sup>3</sup>, -C(O)OR<sup>3</sup>,  
58           -OC(O)R<sup>3</sup>, -C(O)NR<sup>3</sup>R<sup>3</sup>, -OC(O)NR<sup>3</sup>R<sup>3</sup>, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkenyl,

59                   C<sub>1-6</sub> alkynyl, C<sub>1-6</sub> acyl, aryl, substituted aryl, heteroaryl, and substituted  
60                   heteroaryl;

61 R<sup>5</sup> is selected from the group consisting of:

62 a) hydrogen, b) -NR<sup>3</sup>R<sup>3</sup>, c) -NR<sup>3</sup>OR<sup>3</sup>, d) -NR<sup>3</sup>NR<sup>3</sup>R<sup>3</sup> e) -NHC(O)R<sup>3</sup>,  
63 f) -C(O)NR<sup>3</sup>R<sup>3</sup>, g) -N<sub>3</sub>, h) C<sub>1-8</sub> alkyl, i) C<sub>2-8</sub> alkenyl, j) C<sub>2-8</sub> alkynyl, k) saturated,  
64                   unsaturated, or aromatic C<sub>3-8</sub> carbocycle, and l) saturated, unsaturated, or aromatic  
65                   5-10 membered heterocycle containing one or more heteroatoms selected from the  
66                   group consisting of nitrogen, oxygen, and sulfur,

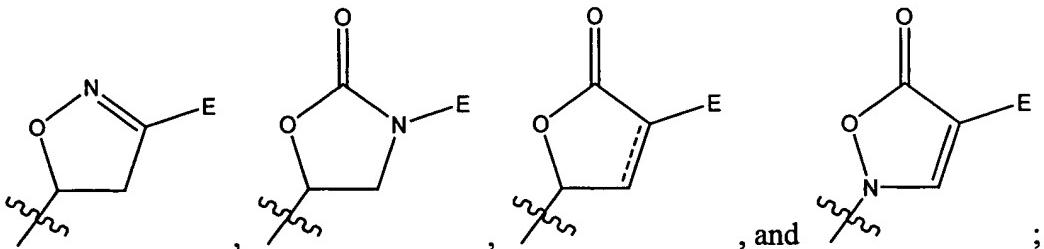
67                   wherein any of h) – l) optionally is substituted with one or more moieties  
68                   selected from the group consisting of F, Cl, Br, I, CN, NO<sub>2</sub>, -NR<sup>3</sup>R<sup>3</sup>, -OR<sup>3</sup>,  
69                   -SR<sup>3</sup>-C(O)R<sup>3</sup>, -C(O)OR<sup>3</sup>, -OC(O)R<sup>3</sup>, -C(O)NR<sup>3</sup>R<sup>3</sup>, -OC(O)NR<sup>3</sup>R<sup>3</sup>,  
70                   C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkenyl, C<sub>1-6</sub> alkynyl, C<sub>1-6</sub> acyl, aryl, substituted aryl,  
71                   heteroaryl, and substituted heteroaryl;

72 R<sup>6</sup>, at each occurrence, independently is selected from the group consisting of:

73                   hydrogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkenyl, C<sub>1-6</sub> alkynyl, C<sub>1-6</sub> acyl, aryl, substituted aryl,  
74                   heteroaryl, substituted heteroaryl;

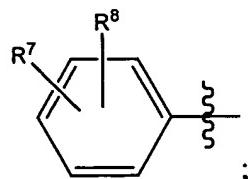
75 alternatively, two R<sup>6</sup> groups taken together are -(CH<sub>2</sub>)<sub>s</sub>-,  
76                   wherein s is 1, 2, 3, 4, or 5;

77 D-E is selected from the group consisting of:

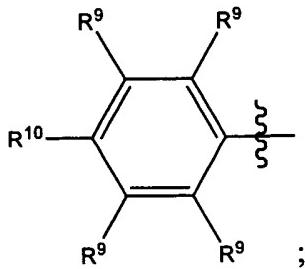


80 E is selected from the group consisting of:

81 a)



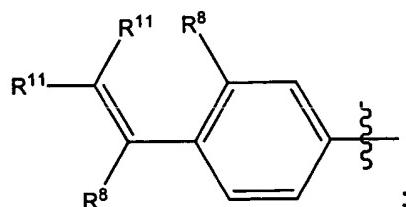
84



85

c)

86



87       d)     5-10 membered saturated, unsaturated, or aromatic heterocycle containing one or  
88     more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, and  
89     optionally substituted with one or more R<sup>13</sup> groups;

90       e)     C<sub>5-10</sub> saturated, unsaturated, or aromatic carbocycle, optionally substituted with  
91     one or more R<sup>13</sup> groups;

92       f)     C<sub>1-8</sub> alkyl,

93       g)     C<sub>2-8</sub> alkenyl,

94       h)     C<sub>3-8</sub> alkynyl,

95       i)     C<sub>1-8</sub> alkoxy,

96       j)     C<sub>1-8</sub> alkylthio,

97       k)     C<sub>1-8</sub> acyl,

98       l)     S(O)<sub>r</sub>R<sup>5</sup>; and

99       m)     hydrogen,

100      wherein any of f) – k) optionally is substituted with

101       i)     one or more R<sup>13</sup> groups;

102       ii)    5-6 membered saturated, unsaturated, or aromatic heterocycle  
103       containing one or more heteroatoms selected from the group consisting of  
104       nitrogen, oxygen, and sulfur, and optionally substituted with one or more  
105       R<sup>13</sup> groups; or

106       iii)   C<sub>5-10</sub> saturated, unsaturated, or aromatic carbocycle, optionally  
107       substituted with one or more R<sup>13</sup> groups;

108 R<sup>7</sup> is selected from the group consisting of:

- 109 a) hydrogen, b) carbonyl, c) formyl, d) F, e) Cl, f) Br, g) I, h) CN, i) NO<sub>2</sub>, j) OR<sup>3</sup>,  
110 k) -S(O)<sub>r</sub>R<sup>5</sup>, l) -S(O)<sub>i</sub>N=R<sup>2</sup>, m) -C(O)R<sup>2</sup>, n) -C(O)OR<sup>3</sup>, o) -OC(O)R<sup>2</sup>,  
111 p) -C(O)NR<sup>2</sup>R<sup>2</sup>, q) -OC(O)NR<sup>2</sup>R<sup>2</sup>, r) -C(=NR<sup>12</sup>)R<sup>2</sup>, s) -C(R<sup>2</sup>)(R<sup>2</sup>)OR<sup>3</sup>,  
112 t) -C(R<sup>2</sup>)(R<sup>2</sup>)OC(O)R<sup>2</sup>, u) -C(R<sup>2</sup>)(OR<sup>3</sup>)(CH<sub>2</sub>)<sub>r</sub>NR<sup>2</sup>R<sup>2</sup>, v) -NR<sup>2</sup>R<sup>2</sup>, w) -NR<sup>2</sup>OR<sup>3</sup>,  
113 x) -N(R<sup>2</sup>)C(O)R<sup>2</sup>, y) -N(R<sup>2</sup>)C(O)OR<sup>3</sup>, z) -N(R<sup>2</sup>)C(O)NR<sup>2</sup>R<sup>2</sup>, aa) -N(R<sup>2</sup>)S(O)<sub>r</sub>R<sup>5</sup>,  
114 bb) -C(OR<sup>6</sup>)(OR<sup>6</sup>)R<sup>2</sup>, cc) -C(R<sup>2</sup>)(R<sup>3</sup>)NR<sup>2</sup>R<sup>2</sup>, dd) -C(R<sup>2</sup>)(R<sup>3</sup>)NR<sup>2</sup>R<sup>12</sup>, ee) =NR<sup>12</sup>,  
115 ff) -C(S)NR<sup>2</sup>R<sup>2</sup>, gg) -N(R<sup>2</sup>)C(S)R<sup>2</sup>, hh) -OC(S)NR<sup>2</sup>R<sup>2</sup>, ii) -N(R<sup>2</sup>)C(S)OR<sup>3</sup>,  
116 jj) -N(R<sup>2</sup>)C(S)NR<sup>2</sup>R<sup>2</sup>, kk) -SC(O)R<sup>2</sup>, ll) C<sub>1-8</sub> alkyl, mm) C<sub>2-8</sub> alkenyl,  
117 nn) C<sub>2-8</sub> alkynyl, oo) C<sub>1-8</sub> alkoxy, pp) C<sub>1-8</sub> alkylthio, qq) C<sub>1-8</sub> acyl, rr) saturated,  
118 unsaturated, or aromatic C<sub>5-10</sub> carbocycle, and ss) saturated, unsaturated, or  
119 aromatic 5-10 membered heterocycle containing one or more heteroatoms  
120 selected from the group consisting of nitrogen, oxygen, and sulfur,

121 wherein any of ll) – ss) optionally is substituted with one or more moieties  
122 selected from the group consisting of:

- 123 carbonyl; formyl; F; Cl; Br; I; CN; NO<sub>2</sub>; OR<sup>3</sup>; -S(O)<sub>r</sub>R<sup>5</sup>; -S(O)<sub>i</sub>N=R<sup>2</sup>,  
124 -C(O)R<sup>2</sup>; -C(O)OR<sup>3</sup>; -OC(O)R<sup>2</sup>; -C(O)NR<sup>2</sup>R<sup>2</sup>; -OC(O)NR<sup>2</sup>R<sup>2</sup>;  
125 -C(=NR<sup>10</sup>)R<sup>2</sup>; -C(R<sup>2</sup>)(R<sup>2</sup>)OR<sup>3</sup>; -C(R<sup>2</sup>)(R<sup>2</sup>)OC(O)R<sup>2</sup>;  
126 -C(R<sup>2</sup>)(OR<sup>3</sup>)(CH<sub>2</sub>)<sub>r</sub>NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>OR<sup>3</sup>; -NR<sup>2</sup>C(O)R<sup>2</sup>;  
127 -NR<sup>2</sup>C(O)OR<sup>3</sup>; -NR<sup>2</sup>C(O)NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>S(O)<sub>r</sub>R<sup>5</sup>; -C(OR<sup>6</sup>)(OR<sup>6</sup>)R<sup>2</sup>;  
128 -C(R<sup>2</sup>)(R<sup>3</sup>)NR<sup>2</sup>R<sup>2</sup>; -C(R<sup>2</sup>)(R<sup>3</sup>)NR<sup>2</sup>R<sup>12</sup>; =NR<sup>12</sup>; -C(S)NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>C(S)R<sup>2</sup>;  
129 -OC(S)NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>C(S)OR<sup>3</sup>; -NR<sup>2</sup>C(S)NR<sup>2</sup>R<sup>2</sup>; -SC(O)R<sup>2</sup>; C<sub>2-5</sub> alkenyl;  
130 C<sub>2-5</sub> alkynyl; C<sub>1-8</sub> alkoxy; C<sub>1-8</sub> alkylthio; C<sub>1-8</sub> acyl; saturated, unsaturated,  
131 or aromatic C<sub>5-10</sub> carbocycle, optionally substituted with one or more R<sup>8</sup>  
132 groups; and saturated, unsaturated, or aromatic 5-10 membered  
133 heterocycle containing one or more heteroatoms selected from the group  
134 consisting of nitrogen, oxygen, and sulfur, and optionally substituted with  
135 one or more R<sup>8</sup> groups;

136 R<sup>8</sup> is selected from the group consisting of:

- 137 hydrogen; F; Cl; Br; I; CN; NO<sub>2</sub>; OR<sup>6</sup>; aryl; substituted aryl; heteroaryl;  
138 substituted heteroaryl; and C<sub>1-6</sub> alkyl, optionally substituted with one or more

139                   moieties selected from the group consisting of aryl, substituted aryl, heteroaryl,  
140                   substituted heteroaryl, F, Cl, Br, I, CN, NO<sub>2</sub>, and OR<sup>6</sup>;  
141                   alternatively, R<sup>7</sup> and R<sup>8</sup> taken together are -O(CH<sub>2</sub>)<sub>r</sub>O-;  
142                   R<sup>9</sup>, at each occurrence, independently is selected from the group consisting of:  
143                   hydrogen, F, Cl, Br, I, CN, OR<sup>3</sup>, NO<sub>2</sub>, -NR<sup>2</sup>R<sup>2</sup>, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> acyl, and  
144                   C<sub>1-6</sub> alkoxy;  
145                   R<sup>10</sup> is selected from the group consisting of:  
146                   a) saturated, unsaturated, or aromatic C<sub>5-10</sub> carbocycle, b) saturated, unsaturated,  
147                   or aromatic 5-10 membered heterocycle containing one or more heteroatoms  
148                   selected from the group consisting of nitrogen, oxygen, and sulfur,  
149                   c) -X-C<sub>1-6</sub> alkyl-saturated, unsaturated, or aromatic 5-10 membered heterocycle  
150                   containing one or more heteroatoms selected from the group consisting of  
151                   nitrogen, oxygen, and sulfur, d) saturated, unsaturated, or aromatic 10-membered  
152                   bicyclic ring system optionally containing one or more heteroatoms selected from  
153                   the group consisting of nitrogen, oxygen, and sulfur, e) saturated, unsaturated, or  
154                   aromatic 13-membered tricyclic ring system optionally containing one or more  
155                   heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,  
156                   and f) R<sup>9</sup>,  
157                   wherein  
158                   any of a) - e) optionally is substituted with one or more R<sup>13</sup> groups, and  
159                   X is O or NR<sup>3</sup>;  
160                   alternatively, R<sup>10</sup> and one R<sup>9</sup> group, taken together with the atoms to which they are  
161                   bonded, form a 5-7 membered saturated or unsaturated carbocycle, optionally substituted with  
162                   one or more R<sup>13</sup> groups; or a 5-7 membered saturated or unsaturated heterocycle containing one  
163                   or more atoms selected from the group consisting of nitrogen, oxygen, and sulfur, and optionally  
164                   substituted with one or more R<sup>13</sup> groups;  
165                   R<sup>11</sup> at each occurrence, independently is selected from the group consisting of:  
166                   hydrogen; an electron-withdrawing group; aryl; substituted aryl; heteroaryl;  
167                   substituted heteroaryl; and C<sub>1-6</sub> alkyl, optionally substituted with F, Cl, or Br;  
168                   alternatively, any R<sup>11</sup> and R<sup>8</sup>, taken together with the atoms to which they are bonded,  
169                   form a 5-7 membered saturated or unsaturated carbocycle, optionally substituted with one or

170 more R<sup>13</sup> groups; or a 5-7 membered saturated or unsaturated heterocycle containing one or more  
171 atoms selected from the group consisting of nitrogen, oxygen, and sulfur, and optionally  
172 substituted with one or more R<sup>13</sup> groups;

173 R<sup>12</sup> is selected from the group consisting of:

174 -NR<sup>2</sup>R<sup>2</sup>, -OR<sup>3</sup>, -OC(O)R<sup>2</sup>, -OC(O)OR<sup>3</sup>, -NR<sup>2</sup>C(O)R<sup>2</sup>, -NR<sup>2</sup>C(O)NR<sup>2</sup>R<sup>2</sup>,  
175 -NR<sup>2</sup>C(S)NR<sup>2</sup>R<sup>2</sup>, and -NR<sup>2</sup>C(=NR<sup>2</sup>)NR<sup>2</sup>R<sup>2</sup>;

176 R<sup>13</sup>, at each occurrence, independently is selected from the group consisting of:

177 a) hydrogen, b) carbonyl, c) formyl d) F, e) Cl, f) Br, g) I, h) CN, i) NO<sub>2</sub>, j) OR<sup>3</sup>,  
178 k) -S(O)<sub>r</sub>R<sup>5</sup>, l) -S(O)<sub>r</sub>N=R<sup>3</sup>, m) -C(O)R<sup>2</sup>, n) -C(O)OR<sup>3</sup>, o) -OC(O)R<sup>2</sup>,  
179 p) -C(O)NR<sup>2</sup>R<sup>2</sup>, q) -OC(O)NR<sup>2</sup>R<sup>2</sup>, r) -C(=NR<sup>12</sup>)R<sup>2</sup>, s) -C(R<sup>2</sup>)(R<sup>2</sup>)OR<sup>3</sup>,  
180 t) -C(R<sup>2</sup>)(R<sup>2</sup>)OC(O)R<sup>2</sup>, u) -C(R<sup>2</sup>)(OR<sup>3</sup>)(CH<sub>2</sub>)NR<sup>2</sup>R<sup>2</sup>, v) -NR<sup>2</sup>R<sup>2</sup>, w) -NR<sup>2</sup>OR<sup>3</sup>,  
181 x) -N(R<sup>2</sup>)C(O)R<sup>2</sup>, y) -N(R<sup>2</sup>)C(O)OR<sup>3</sup>, z) -N(R<sup>2</sup>)C(O)NR<sup>2</sup>R<sup>2</sup>, aa) -N(R<sup>2</sup>)S(O)<sub>r</sub>R<sup>5</sup>,  
182 bb) -C(OR<sup>6</sup>)(OR<sup>6</sup>)R<sup>2</sup>, cc) -C(R<sup>2</sup>)(R<sup>3</sup>)NR<sup>2</sup>R<sup>2</sup>, dd) -C(R<sup>2</sup>)(R<sup>3</sup>)NR<sup>2</sup>R<sup>12</sup>, ee) =NR<sup>12</sup>,  
183 ff) -C(S)NR<sup>2</sup>R<sup>2</sup>, gg) -N(R<sup>2</sup>)C(S)R<sup>2</sup>, hh) -OC(S)NR<sup>2</sup>R<sup>2</sup>, ii) -N(R<sup>2</sup>)C(S)OR<sup>3</sup>,  
184 jj) -N(R<sup>2</sup>)C(S)NR<sup>2</sup>R<sup>2</sup>, kk) -SC(O)R<sup>2</sup>, ll) C<sub>1-8</sub> alkyl, mm) C<sub>2-8</sub> alkenyl,  
185 nn) C<sub>2-8</sub> alkynyl, oo) C<sub>1-8</sub> alkoxy, pp) C<sub>1-8</sub> alkylthio, qq) C<sub>1-8</sub> acyl, rr) saturated,  
186 unsaturated, or aromatic C<sub>5-10</sub> carbocycle, ss) saturated, unsaturated, or aromatic  
187 5-10 membered heterocycle containing one or more heteroatoms selected from the  
188 group consisting of nitrogen, oxygen, and sulfur, tt) saturated, unsaturated, or  
189 aromatic 10-membered bicyclic ring system optionally containing one or more  
190 heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,  
191 and uu) saturated, unsaturated, or aromatic 13-membered tricyclic ring system  
192 optionally containing one or more heteroatoms selected from the group consisting  
193 of nitrogen, oxygen, and sulfur,

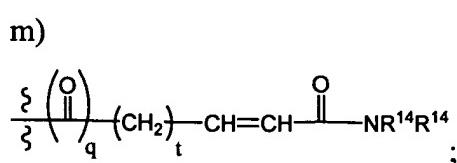
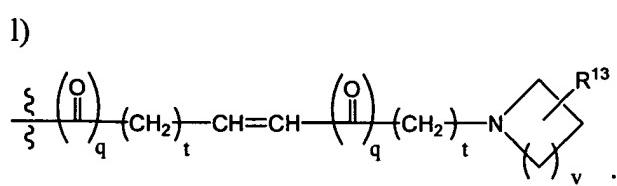
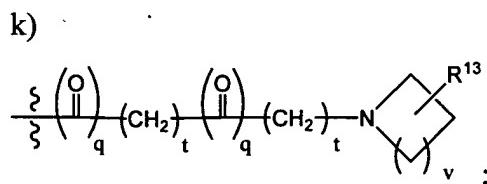
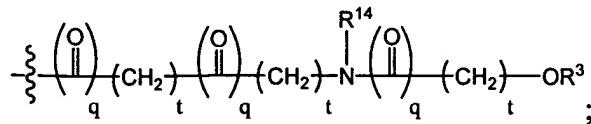
194 wherein any of ll) – uu) optionally is substituted with one or more  
195 moieties selected from the group consisting of:

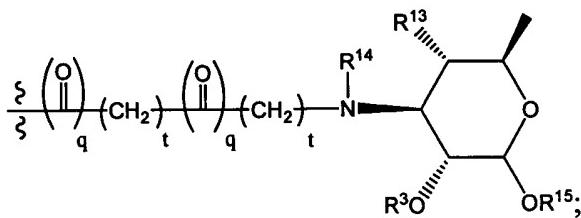
196 carbonyl; formyl; F; Cl; Br; I; CN; NO<sub>2</sub>; OR<sup>3</sup>; -S(O)<sub>r</sub>R<sup>5</sup>;  
197 -S(O)<sub>r</sub>N=R<sup>2</sup>, -C(O)R<sup>2</sup>; -C(O)OR<sup>3</sup>; -OC(O)R<sup>2</sup>; -C(O)NR<sup>2</sup>R<sup>2</sup>;  
198 -OC(O)NR<sup>2</sup>R<sup>2</sup>; -C(=NR<sup>12</sup>)R<sup>2</sup>; -C(R<sup>2</sup>)(R<sup>2</sup>)OR<sup>3</sup>;  
199 -C(R<sup>2</sup>)(R<sup>2</sup>)OC(O)R<sup>2</sup>; -C(R<sup>2</sup>)(OR<sup>3</sup>)(CH<sub>2</sub>)NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>R<sup>2</sup>;  
200 -NR<sup>2</sup>OR<sup>3</sup>; -NR<sup>2</sup>C(O)R<sup>2</sup>; -NR<sup>2</sup>C(O)OR<sup>3</sup>; -NR<sup>2</sup>C(O)NR<sup>2</sup>R<sup>2</sup>;

201                    -NR<sup>2</sup>S(O)<sub>t</sub>R<sup>5</sup>; -C(OR<sup>6</sup>)(OR<sup>6</sup>)R<sup>2</sup>; -C(R<sup>2</sup>)(R<sup>3</sup>)NR<sup>2</sup>R<sup>2</sup>;  
 202                    -C(R<sup>2</sup>)(R<sup>3</sup>)NR<sup>2</sup>R<sup>12</sup>; =NR<sup>12</sup>; -C(S)NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>C(S)R<sup>2</sup>;  
 203                    -OC(S)NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>C(S)OR<sup>3</sup>; -NR<sup>2</sup>C(S)NR<sup>2</sup>R<sup>2</sup>; -SC(O)R<sup>2</sup>;  
 204                    C<sub>1-8</sub> alkyl, C<sub>2-8</sub> alkenyl; C<sub>2-8</sub> alkynyl; C<sub>1-8</sub> alkoxy; C<sub>1-8</sub> alkylthio;  
 205                    C<sub>1-8</sub> acyl; saturated, unsaturated, or aromatic C<sub>3-10</sub> carbocycle  
 206                    optionally substituted with one or more R<sup>7</sup> groups; and saturated,  
 207                    unsaturated, or aromatic 3-10 membered heterocycle containing  
 208                    one or more heteroatoms selected from the group consisting of  
 209                    nitrogen, oxygen, and sulfur, and substituted with one or more R<sup>7</sup>  
 210                    groups;

G is selected from the group consisting of:

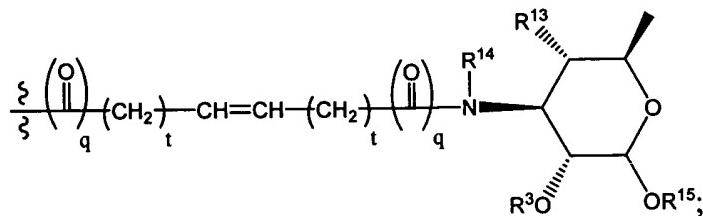
- 212                    a) C<sub>1-4</sub> alkyl, b) C<sub>5-8</sub> alkyl, c) C<sub>2-8</sub> alkenyl, d) C<sub>2-8</sub> alkynyl, e) C<sub>1-8</sub> alkoxy,  
 213                    f) C<sub>1-8</sub> alkylthio, g) C<sub>1-8</sub> acyl, h) saturated, unsaturated, or aromatic C<sub>5-10</sub>  
 214                    carbocycle, i) saturated, unsaturated, or aromatic 5-10 membered heterocycle  
 215                    containing one or more heteroatoms selected from the group consisting of  
 216                    nitrogen, oxygen, and sulfur,  
 217                    j)





227

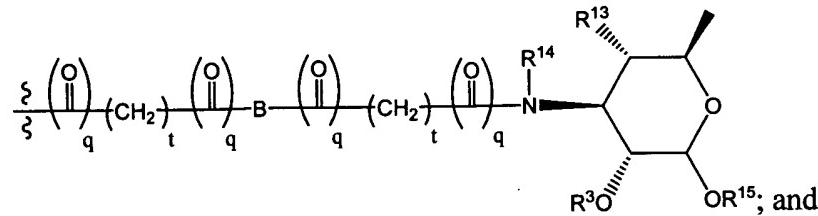
o)



228

229

p)



230

231      q)     $-(\text{CH}_2)_t-\text{NR}^2-(\text{CH}_2)_t-\text{C}(\text{R}^3)(\text{R}^3)\text{OR}^3;$

232            wherein

233            i)       a) is substituted with, and  
234            ii)      any of b) – i) optionally is substituted with one or more moieties  
235            selected from the group consisting of:

236            carbonyl; formyl; F; Cl; Br; I; CN; NO<sub>2</sub>; OR<sup>3</sup>; -S(O)<sub>t</sub>R<sup>5</sup>;  
237            -S(O)<sub>t</sub>N=R<sup>2</sup>, -C(O)R<sup>2</sup>; -C(O)OR<sup>3</sup>; -OC(O)R<sup>2</sup>; -C(O)NR<sup>2</sup>R<sup>2</sup>;  
238            -OC(O)NR<sup>2</sup>R<sup>2</sup>; -C(=NR<sup>12</sup>)R<sup>2</sup>; -C(R<sup>2</sup>)(R<sup>2</sup>)OR<sup>3</sup>;  
239            -C(R<sup>2</sup>)(R<sup>2</sup>)OC(O)R<sup>2</sup>; -C(R<sup>2</sup>)(OR<sup>3</sup>)(CH<sub>2</sub>)<sub>t</sub>NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>R<sup>2</sup>;  
240            -NR<sup>2</sup>OR<sup>3</sup>; -NR<sup>2</sup>C(O)R<sup>2</sup>; -NR<sup>2</sup>C(O)OR<sup>3</sup>; -NR<sup>2</sup>C(O)NR<sup>2</sup>R<sup>2</sup>;  
241            -NR<sup>2</sup>S(O)<sub>t</sub>R<sup>5</sup>; -C(OR<sup>6</sup>)(OR<sup>6</sup>)R<sup>2</sup>; -C(R<sup>2</sup>)(R<sup>3</sup>)NR<sup>2</sup>R<sup>2</sup>;  
242            -C(R<sup>2</sup>)(R<sup>3</sup>)NR<sup>2</sup>R<sup>12</sup>; =NR<sup>12</sup>; -C(S)NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>C(S)R<sup>2</sup>;  
243            -OC(S)NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>C(S)OR<sup>3</sup>; -NR<sup>2</sup>C(S)NR<sup>2</sup>R<sup>2</sup>; -SC(O)R<sup>2</sup>;  
244            C<sub>2-5</sub> alkenyl; C<sub>2-5</sub> alkynyl; C<sub>1-8</sub> alkoxy; C<sub>1-8</sub> alkylthio; C<sub>1-8</sub> acyl;  
245            saturated, unsaturated, or aromatic C<sub>5-10</sub> carbocycle, optionally  
246            substituted with one or more R<sup>13</sup> groups; and saturated,

247 unsaturated, or aromatic 5-10 membered heterocycle containing  
248 one or more heteroatoms selected from the group consisting of  
249 nitrogen, oxygen, and sulfur, and optionally substituted with one or  
250 more R<sup>13</sup> groups;

251 t, at each occurrence, independently is 0, 1, 2, or 3;

252 v is 0, 1, 2, 3, 4, 5, or 6;

253 R<sup>14</sup> is selected from the group consisting of:

- 254 a) hydrogen, b) C<sub>1-6</sub>-alkyl, c) C<sub>2-6</sub> alkenyl, d) C<sub>2-6</sub> alkynyl, e) -C(O)-R<sup>3</sup>,  
255 f) -C(O)-C<sub>1-6</sub> alkyl-R<sup>3</sup>, g) -C(O)-C<sub>2-6</sub> alkenyl-R<sup>3</sup>, h) -C(O)-C<sub>2-6</sub> alkynyl-R<sup>3</sup>,  
256 i) -C<sub>1-6</sub> alkyl-J-R<sup>3</sup>, j) -C<sub>2-6</sub> alkenyl-J-R<sup>3</sup>; and k) -C<sub>2-6</sub> alkynyl-J-R<sup>3</sup>;

257 wherein

- 258 (i) any of b) – d) optionally is substituted with one or more  
259 substituents selected from the group consisting of:

260 F, Cl, Br, I, aryl, substituted aryl, heteroaryl, substituted heteroaryl,  
261 -OR<sup>3</sup>, -O-C<sub>1-6</sub> alkyl-R<sup>2</sup>, -O-C<sub>2-6</sub> alkenyl-R<sup>2</sup>, -O-C<sub>2-6</sub> alkynyl-R<sup>2</sup>,  
262 and-NR<sup>2</sup>R<sup>2</sup>; and

- 263 (ii) J is selected from the group consisting of:

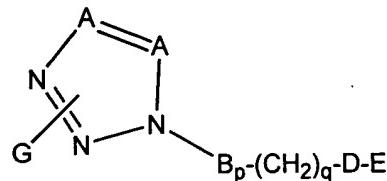
264 -OC(O)-, -OC(O)O-, -OC(O)NR<sup>2</sup>-, -C(O)NR<sup>2</sup>-, -NR<sup>2</sup>C(O)-,  
265 -NR<sup>2</sup>C(O)O-, -NR<sup>2</sup>C(O)NR<sup>2</sup>-, -NR<sup>2</sup>C(NH)NR<sup>2</sup>-, and S(O); and

266 R<sup>15</sup> is selected from the group consisting of:

267 hydrogen; C<sub>1-10</sub> alkyl, optionally substituted with one or more R<sup>13</sup> groups;

268 C<sub>1-6</sub> acyl, optionally substituted with one or more R<sup>13</sup> groups; aryl; substituted  
269 aryl; heteroaryl; substituted heteroaryl; arylalkyl; substituted arylalkyl; and a  
270 macrolide.

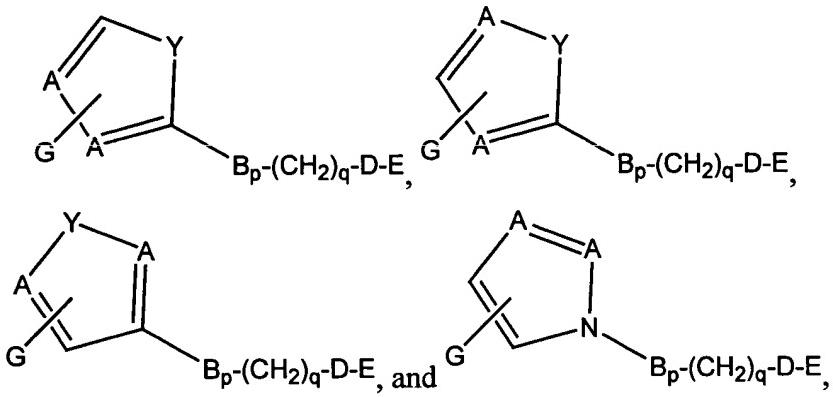
1 2. The compound according to claim 1, having the formula:



wherein

4           A, at each occurrence, independently is carbon or nitrogen, provided at least one  
5        A is carbon, and  
6        p, q, B, D, E, and G are as defined in claim 1.

1    3.     The compound according to claim 1, having the formula selected from the group  
2     consisting of:



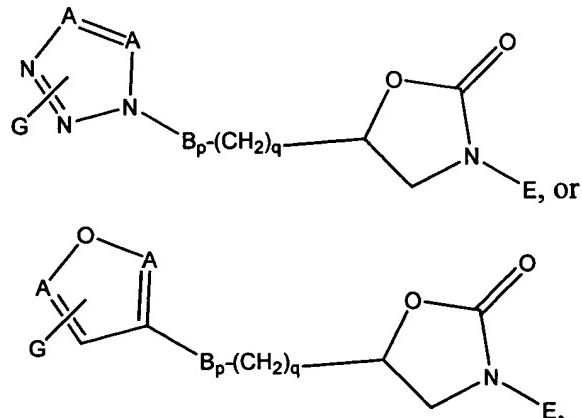
5       wherein

6       Y is oxygen or sulfur,

7       A, at each occurrence, independently is carbon or nitrogen, and

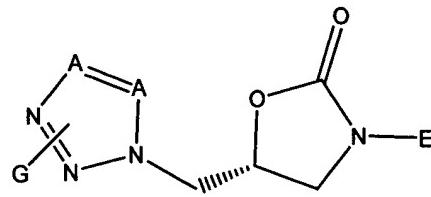
8       p, q, B, D, E, and G are as defined in claim 1.

1    4.     The compound according to claim 1, having the formula:



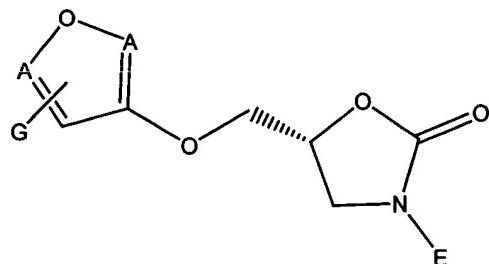
4       wherein p, q, A, B, E, and G are as defined in claim 1.

1    5.     The compound according to claim 4, having the formula:



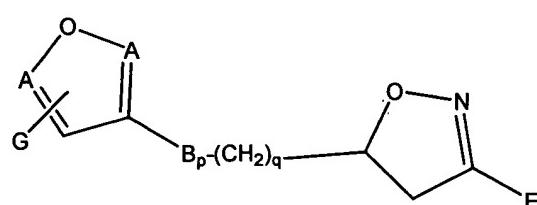
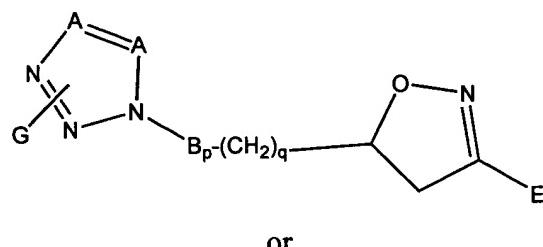
wherein A, E, and G are as defined in claim 1.

1    6.    The compound according to claim 4, having the formula:



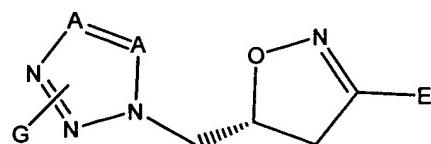
wherein A, E, and G are as defined in claim 1.

1    7.    The compound according to claim 1, having the formula:



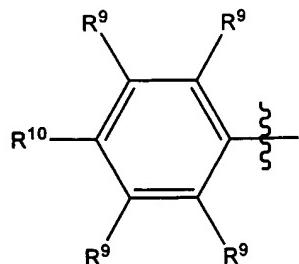
wherein p, q, A, E, and G are as defined in claim 1.

1    8.    The compound according to claim 7, having the formula:



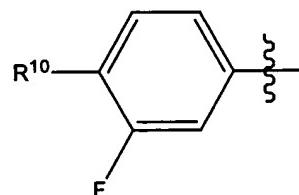
wherein A, E, and G are as defined in claim 1.

1 9. The compound according to claim 1, wherein E has the formula:



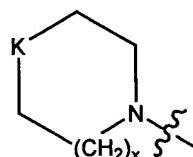
wherein R<sup>9</sup> and R<sup>10</sup>, at each occurrence, are as defined in claim 1.

1 10. The compound according to claim 1, wherein E has the formula:



wherein R<sup>10</sup> is as defined in claim 1.

1 11. The compound according to claim 9, wherein R<sup>10</sup> has the formula:



wherein

K is selected from the group consisting of O, NR<sup>2</sup>, and S(O)<sub>r</sub>, and

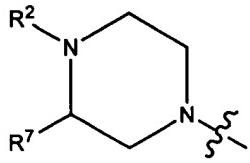
x is 0, 1, 2, or 3.

1 12. The compound according to claim 11, wherein K is oxygen.

1 13. The compound according to claim 11, wherein t is 1.

1 14. The compound according to claim 9, wherein R<sup>10</sup> is -C(O)CH<sub>3</sub>.

1 15. The compound according to claim 9, wherein R<sup>10</sup> has the formula:

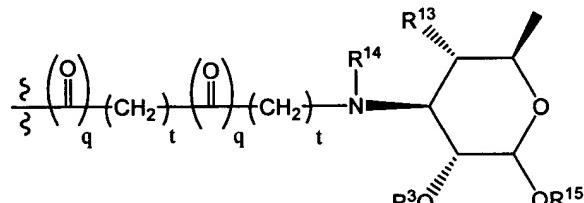


3 wherein R² and R⁷ are as defined in claim 1.

1 16. The compound according to claim 15, wherein R² is -C(O)-CH₂-OH.

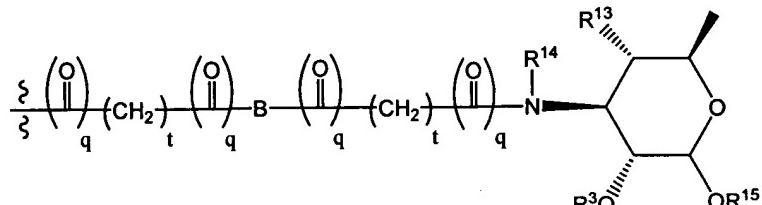
1 17. The compound according to claim 15, wherein R⁷ is hydrogen.

1 18. The compound according to claim 1, wherein G has the formula:



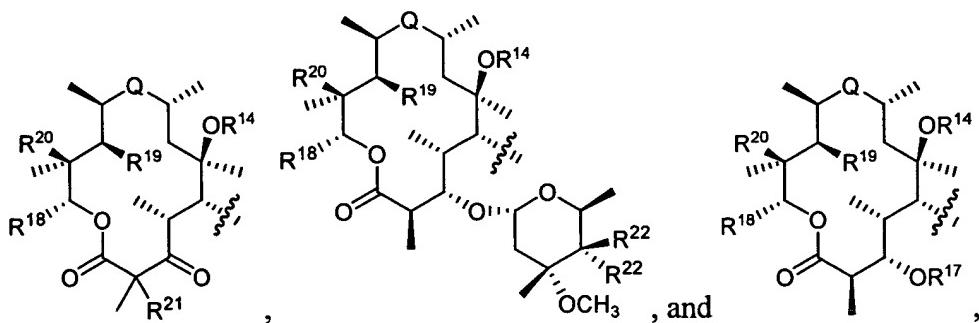
3 and R¹⁵ is a macrolide.

1 19. The compound according to claim 1, wherein G has the formula:



3 and R¹⁵ is a macrolide.

1 20. The compound according to claim 1, wherein R¹⁵ is selected from the group consisting  
2 of:



4 and pharmaceutically acceptable salts, esters and prodrugs thereof, wherein

5 R¹⁷ is selected from the group consisting of:

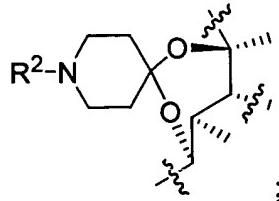
6                   hydrogen, hydroxy protecting group, R<sup>3</sup>, and -V-W-R<sup>13</sup>,

7                   wherein

8                   V is -C(O), -C(O)O-, -C(O)NR<sup>2</sup>-, or absent, and

9                   W is C<sub>1-6</sub> alkyl, or absent;

10                  alternatively R<sup>17</sup> and R<sup>14</sup>, taken together with the atoms to which they are bonded, form:



11                  ;

12                  Q is selected from the group consisting of:

13                  -NR<sup>2</sup>CH<sub>2</sub>-, -CH<sub>2</sub>-NR<sup>2</sup>-, -C(O)-, -C(=NR<sup>2</sup>)-, -C(=NOR<sup>3</sup>)-, -C(=N-NR<sup>2</sup>R<sup>2</sup>)-,

14                  -CH(OR<sup>3</sup>)-, and -CH(NR<sup>2</sup>R<sup>2</sup>)-;

15                  R<sup>18</sup> is selected from the group consisting of:

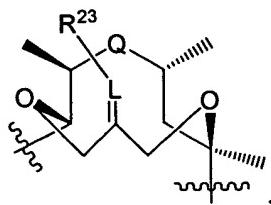
16                  i) C<sub>1-6</sub> alkyl, ii) C<sub>2-6</sub> alkenyl, and iii) C<sub>2-6</sub> alkynyl;

17                  wherein any of i) – iii) optionally is substituted with one or more moieties  
18                  selected from the group consisting of -OR<sup>3</sup>, aryl, substituted aryl,  
19                  heteroaryl, and substituted heteroaryl;

20                  R<sup>19</sup> is selected from the group consisting of:

21                  a) -OR<sup>17</sup>, b) C<sub>1-6</sub> alkyl , c) C<sub>2-6</sub> alkenyl, d) C<sub>2-6</sub> alkynyl, e) -NR<sup>2</sup>R<sup>2</sup>, f) -C(O)R<sup>3</sup>,  
22                  g) -C(O)-C<sub>1-6</sub> alkyl-R<sup>13</sup>, h) -C(O)-C<sub>2-6</sub> alkenyl-R<sup>13</sup>, and i) -C(O)-C<sub>2-6</sub> alkynyl-R<sup>13</sup>,  
23                  wherein any of b) - d) optionally is substituted with one or more R<sup>13</sup>  
24                  groups;

25                  alternatively, R<sup>14</sup> and R<sup>19</sup>, taken together with the atoms to which they are bonded, form:



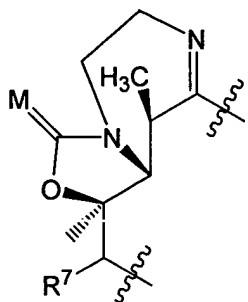
26

27                  wherein

28                  L is CH or N, and

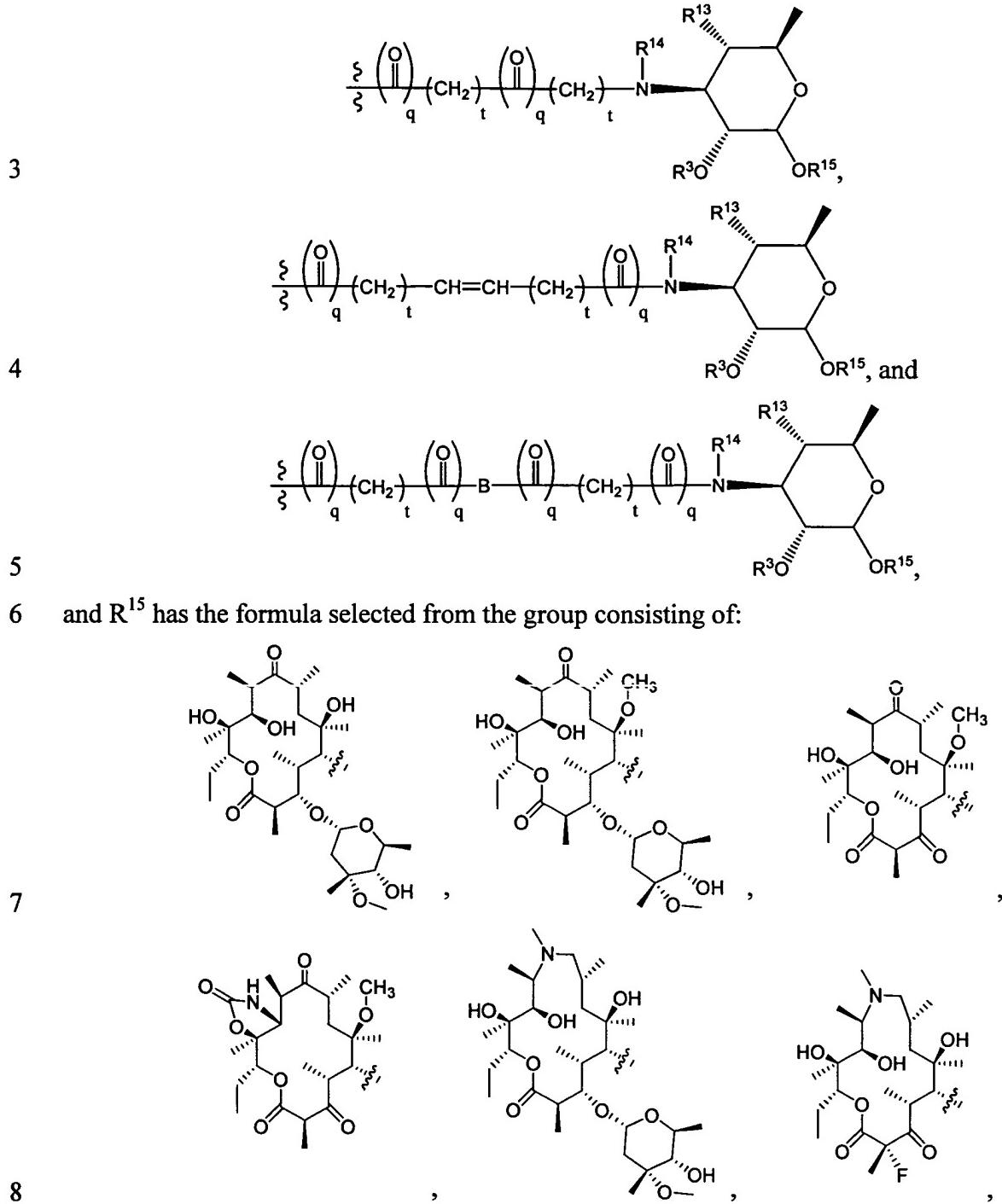
29                  R<sup>23</sup> is -OR<sup>3</sup>, or R<sup>3</sup>;

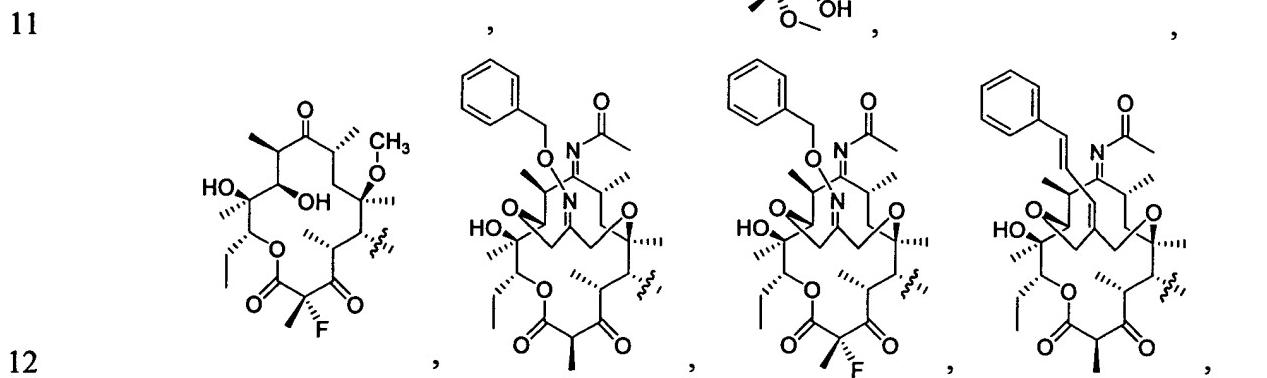
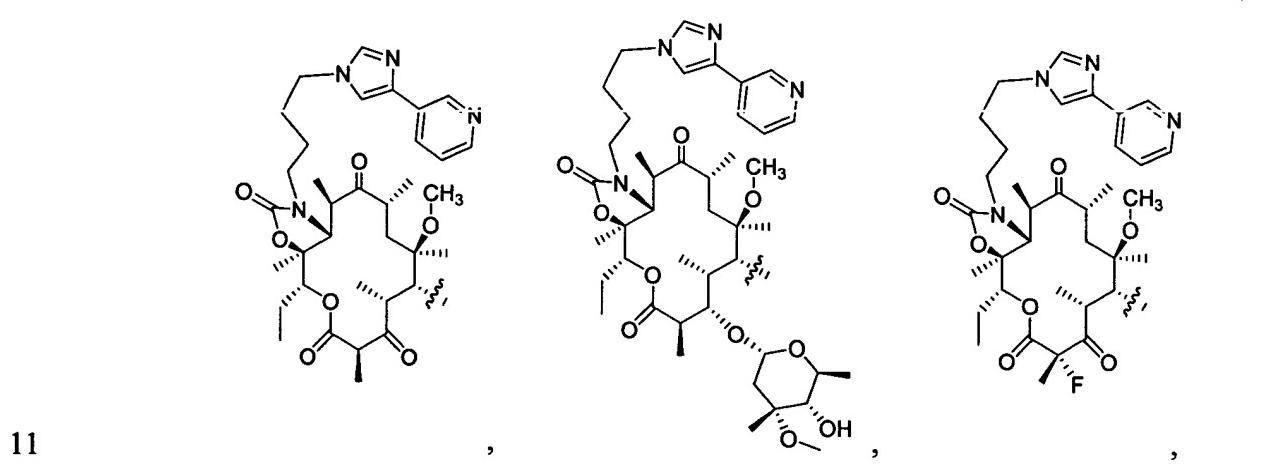
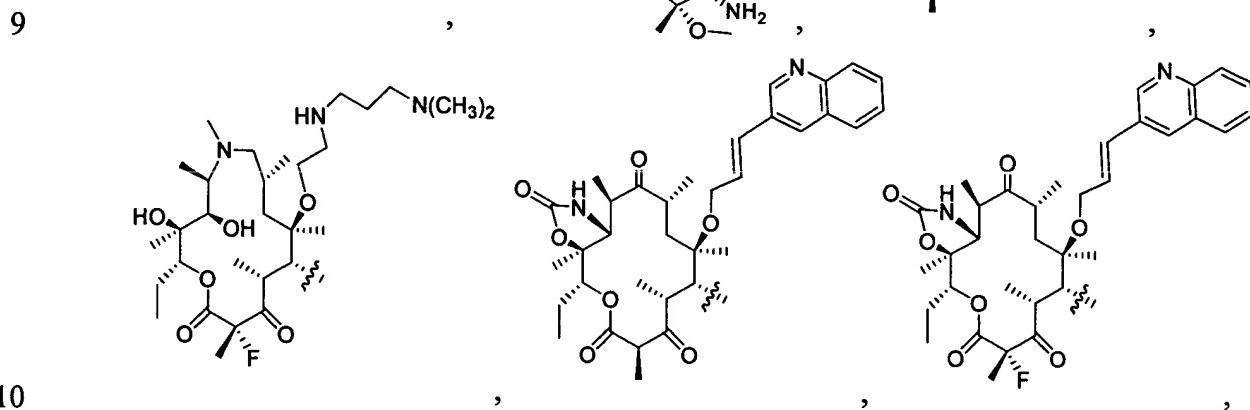
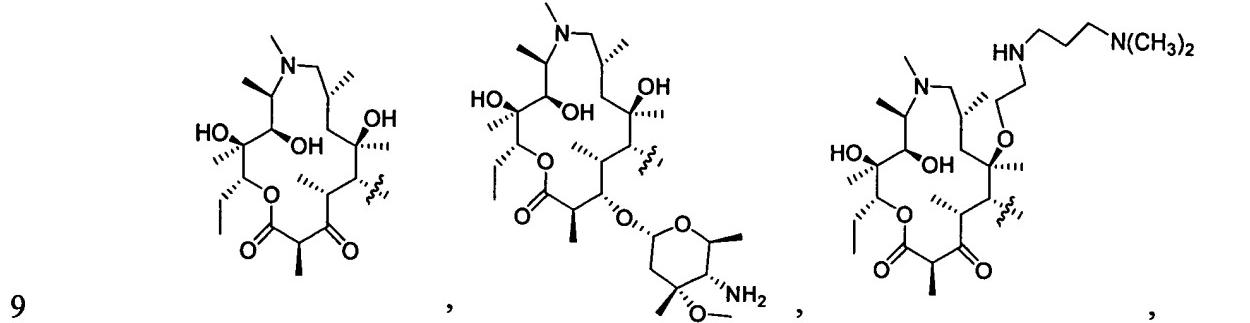
30            R<sup>20</sup> is -OR<sup>17</sup>;  
31            alternatively, R<sup>19</sup> and R<sup>20</sup>, taken together with the atoms to which they are bonded, form a  
32        5-membered ring by attachment to each other through a linker selected from the group consisting  
33        of:  
34        -OC(R<sup>2</sup>)(R<sup>2</sup>)O-, -OC(O)O-, -OC(O)NR<sup>2</sup>-, -NR<sup>2</sup>C(O)O-, -OC(O)NOR<sup>3</sup>-,  
35        -N(OR<sup>3</sup>)C(O)O-, -OC(O)N-NR<sup>2</sup>R<sup>2</sup>-, -N(NR<sup>2</sup>R<sup>2</sup>)C(O)O-, -OC(O)CHR<sup>2</sup>-, -CHR<sup>2</sup>C(O)O-,  
36        -OC(S)O-, -OC(S)NR<sup>2</sup>-, -NR<sup>2</sup>C(S)O-, -OC(S)NOR<sup>3</sup>-, -N(OR<sup>3</sup>)C(S)O-,  
37        -OC(S)N-NR<sup>2</sup>R<sup>2</sup>-, -N(NR<sup>2</sup>R<sup>2</sup>)C(S)O-, -OC(S)CHR<sup>2</sup>-, and -CHR<sup>2</sup>C(S)O-;  
38            alternatively, Q, R<sup>19</sup>, and R<sup>20</sup>, taken together with the atoms to which they are bonded,  
39        form:

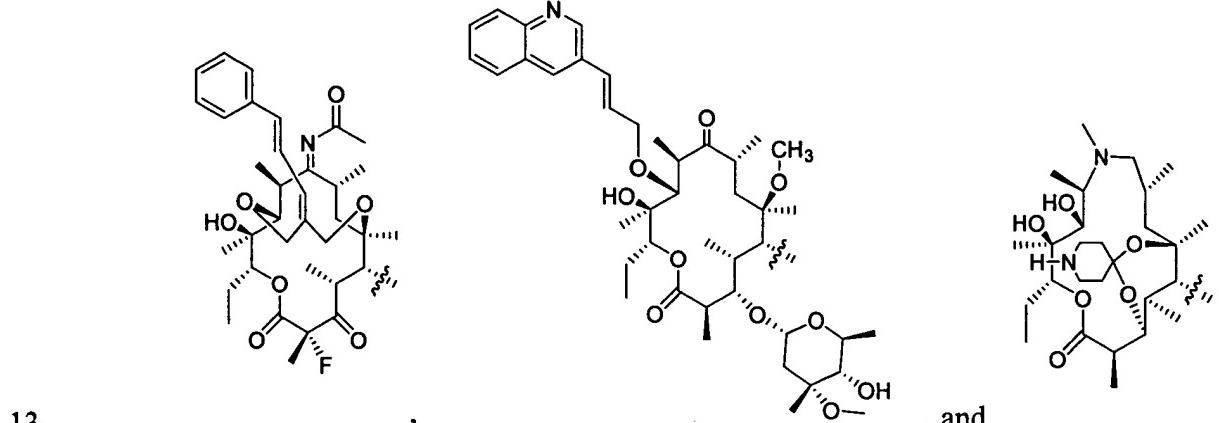


40  
41            wherein  
42        M is O or NR<sup>2</sup>;  
43        R<sup>21</sup> is selected from the group consisting of:  
44        hydrogen, F, Cl, Br, and C<sub>1-6</sub> alkyl;  
45        R<sup>22</sup>, at each occurrence, independently is selected from the group consisting of:  
46        hydrogen, -OR<sup>3</sup>, -O-hydroxy protecting group, -O-C<sub>1-6</sub> alkyl-J-R<sup>13</sup>,  
47        -O-C<sub>2-6</sub> alkenyl-J-R<sup>13</sup>, -O-C<sub>1-6</sub> alkynyl-J-R<sup>13</sup>, and -NR<sup>2</sup>R<sup>2</sup>;  
48        alternatively, two R<sup>22</sup> groups taken together are =O, =N-OR<sup>3</sup>, or =N-NR<sup>2</sup>R<sup>2</sup>; and  
49        R<sup>2</sup>, R<sup>3</sup>, R<sup>13</sup>, R<sup>14</sup>, and J are as described in claim 1.

1        21.      The compound according to claim 1, wherein G has the formula selected from the group  
2        consisting of:

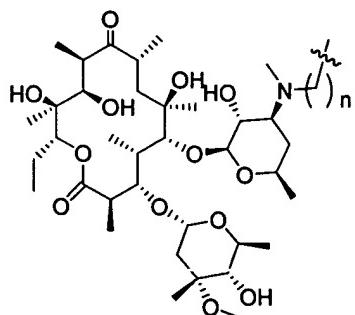






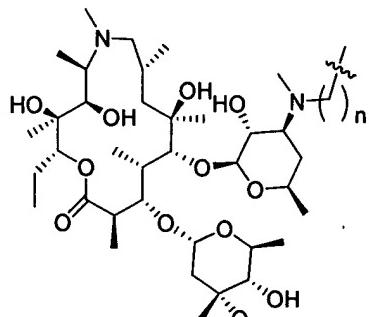
13 , , and .

1 22. The compound according to claim 1, wherein G has the formula:



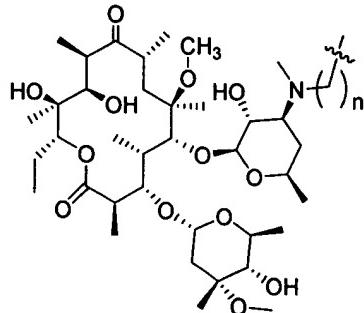
2  
3 wherein n = 1, 2, 3, or 4.

1 23. The compound according to claim 1, wherein G has the formula:



2  
3 wherein n = 1, 2, 3, or 4.

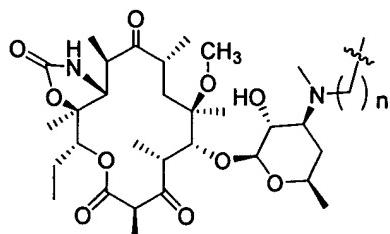
1 24. The compound according to claim 1, wherein G has the formula:



2

3 wherein n = 1, 2, 3, or 4.

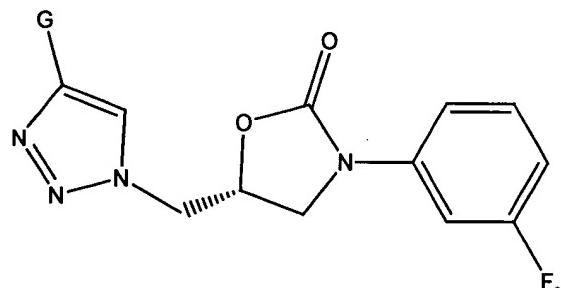
1 25. The compound according to claim 1, wherein G has the formula:



2

3 wherein n = 1, 2, 3, or 4.

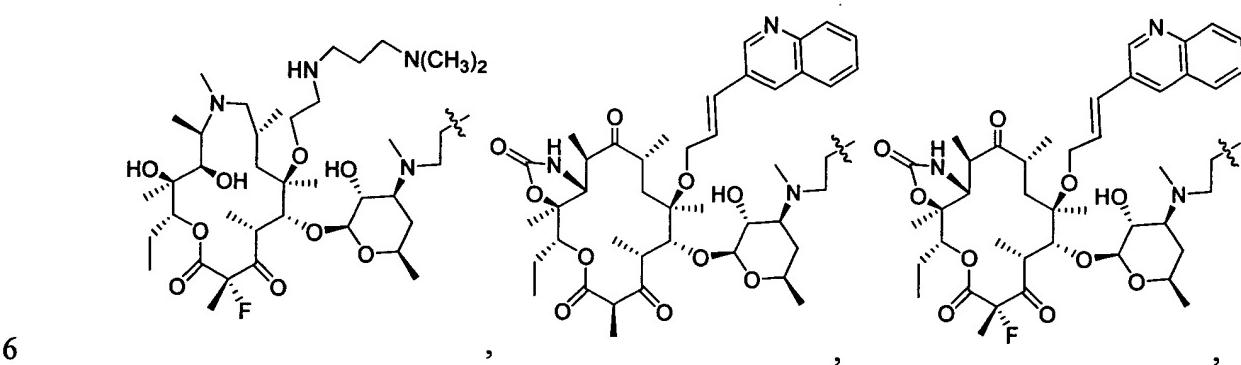
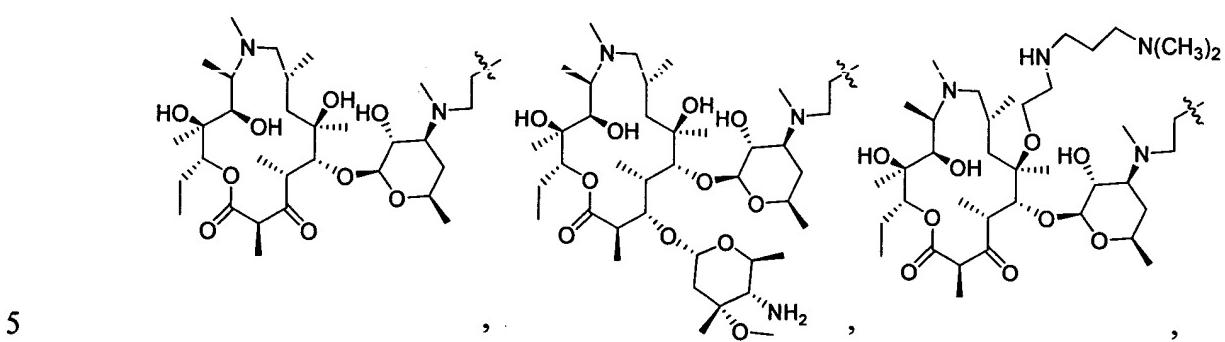
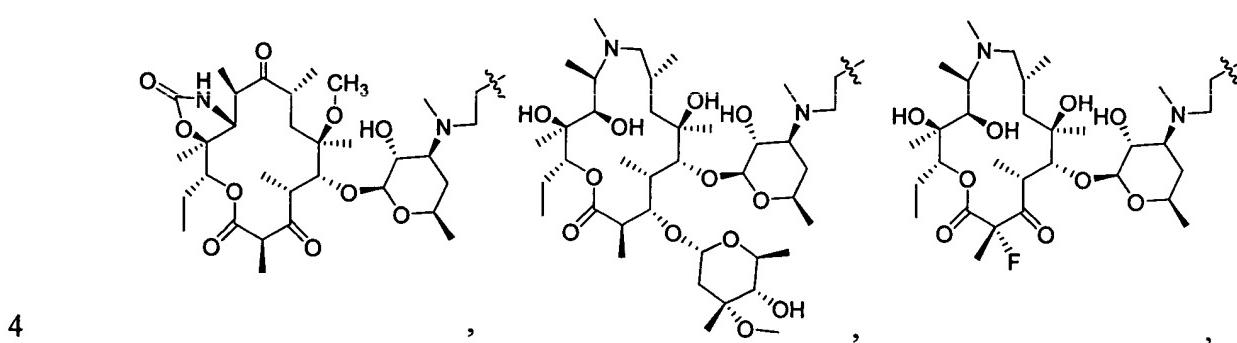
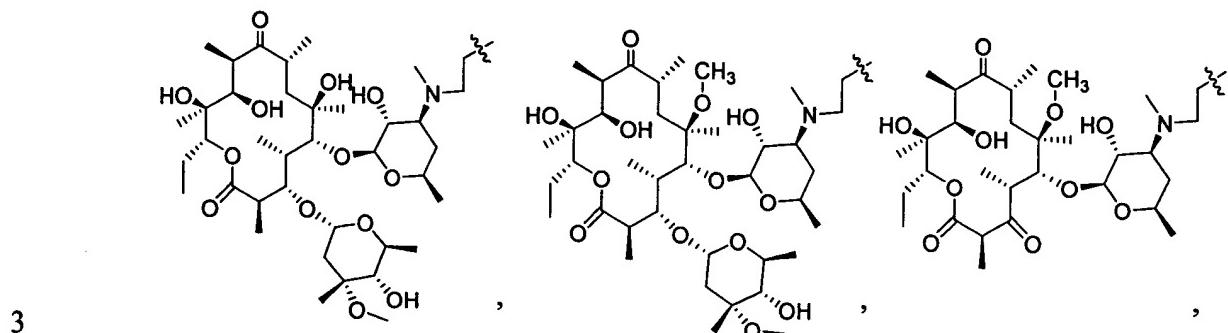
1 26. The compound according to claim 1, having the formula:

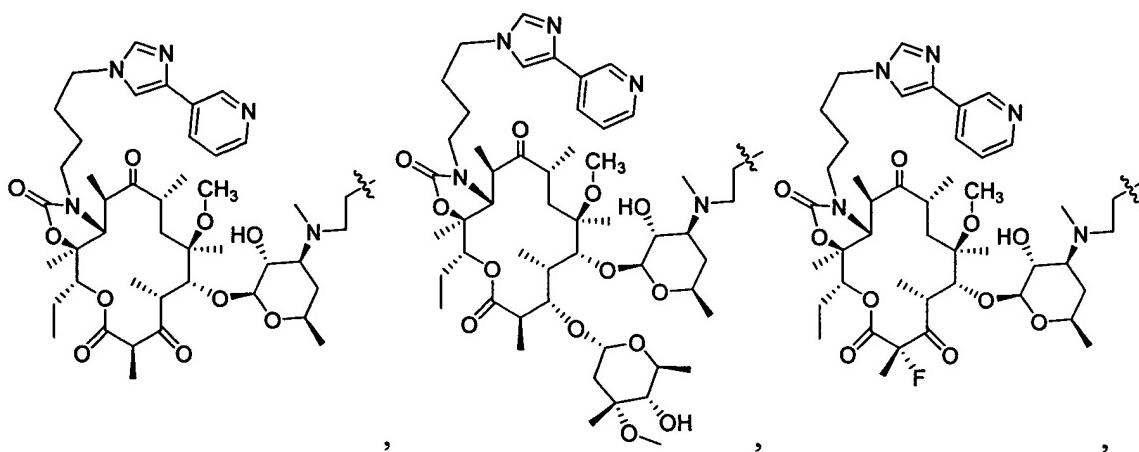


2

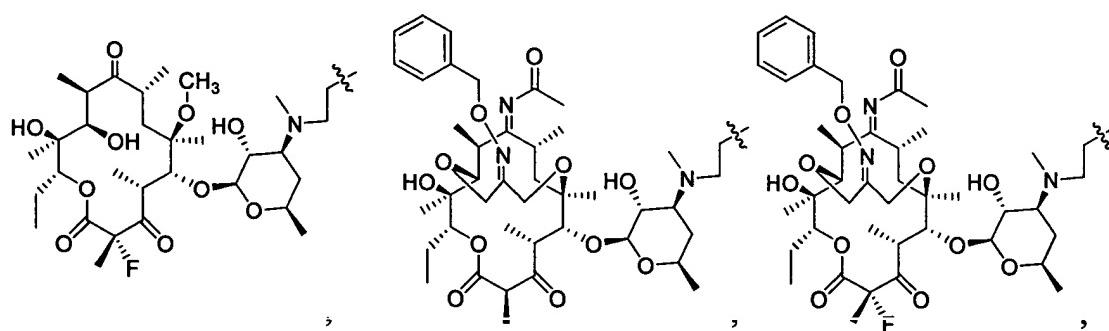
3 wherein G is as described in claim 1.

1 27. The compound according to claim 26, wherein G has the formula selected from the group  
2 consisting of:

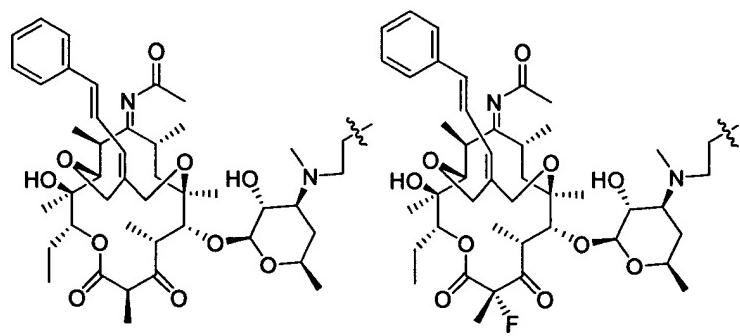




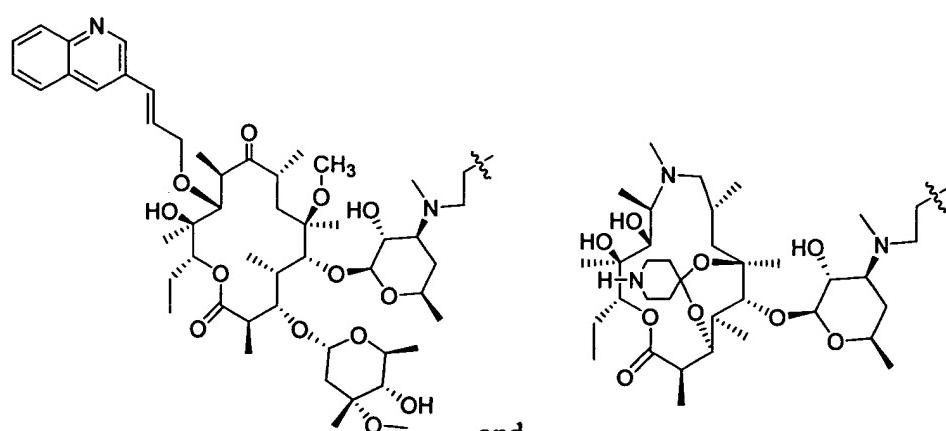
7 , , ,



8 , , ,

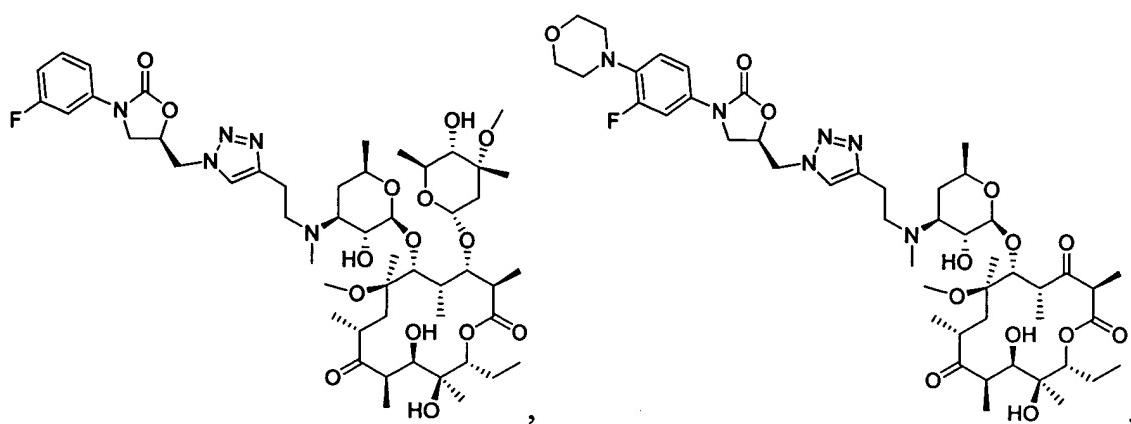
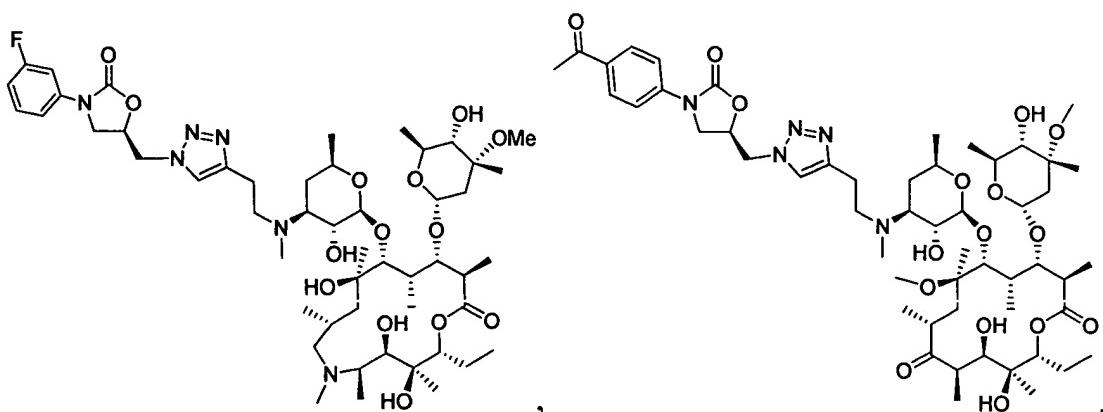
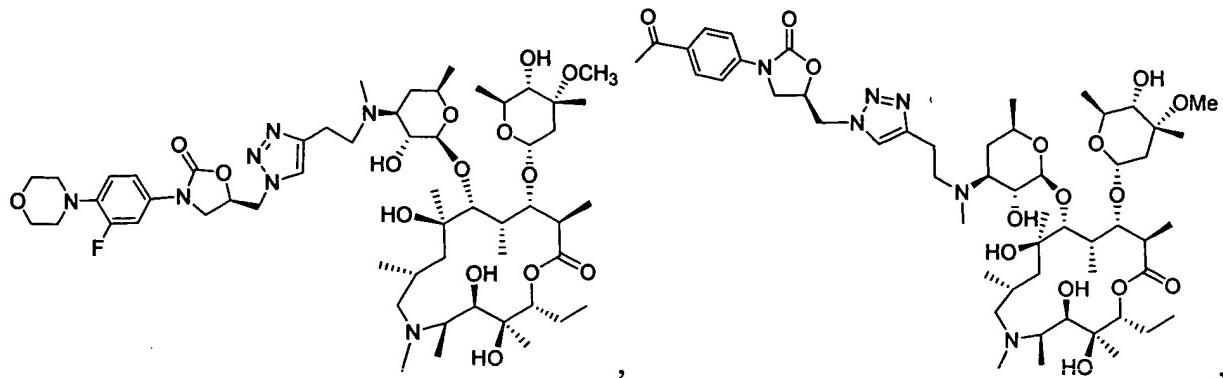


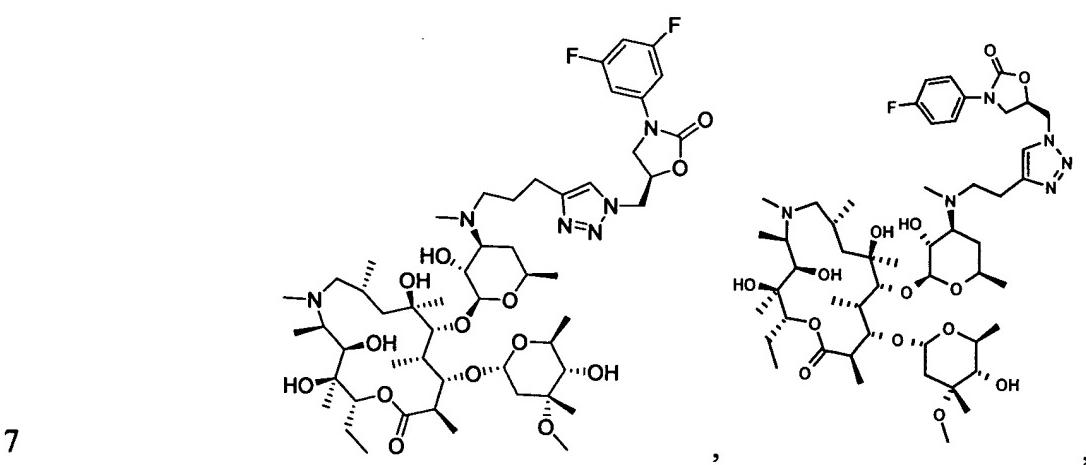
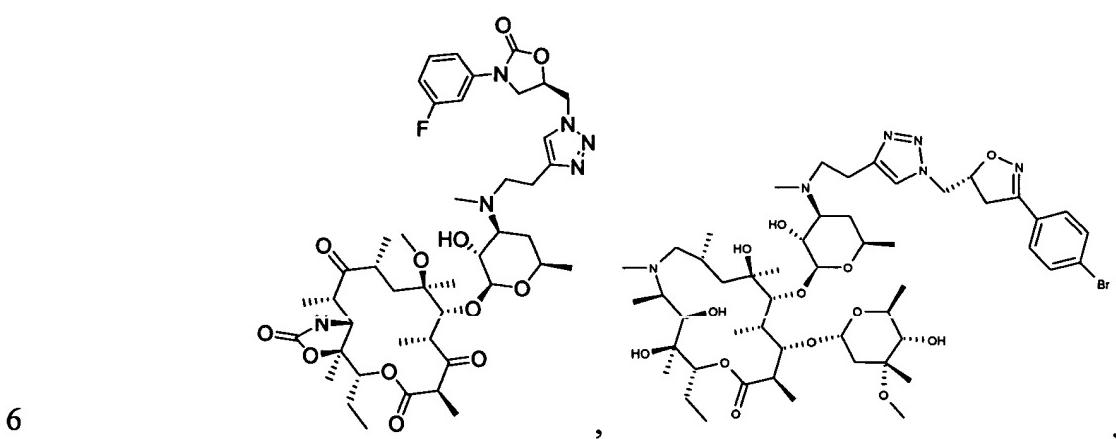
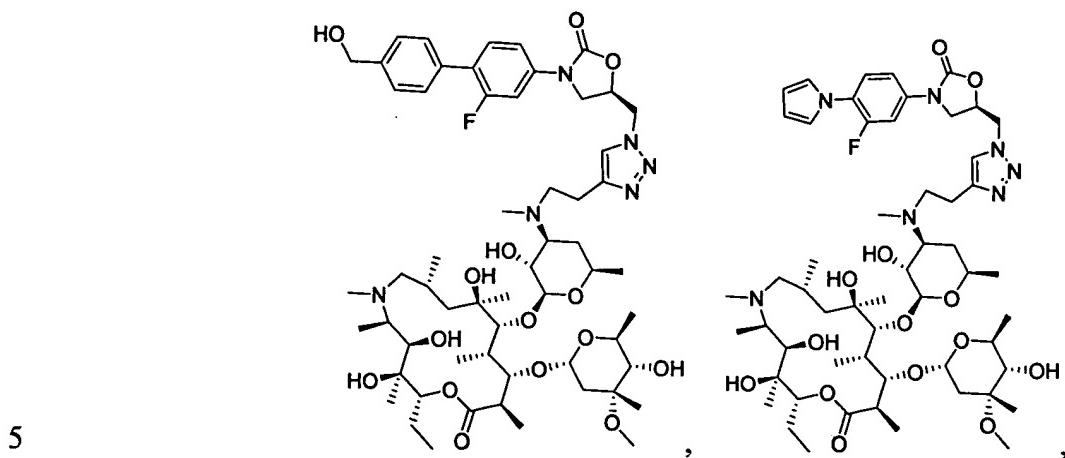
9 , , ,



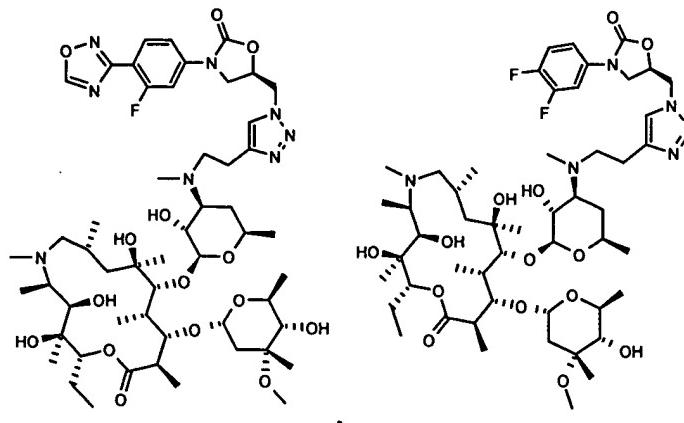
10 , and .

1 28. A compound having the formula selected from the group consisting of:





8



9

, and

10 or a pharmaceutically acceptable salt, ester, or prodrug thereof.

1 29. A compound having the structure corresponding to any of the structures listed in Table 1,  
2 or a pharmaceutically acceptable salt, ester, or prodrug thereof.

1 30. A compound having the structure corresponding to any of the structures listed in Table 2,  
2 or a pharmaceutically acceptable salt, ester, or prodrug thereof.

1 31. A pharmaceutical composition comprising a compound according to any one of claims  
2 1-30 and a pharmaceutically acceptable carrier.

1 32. A method of treating a microbial infection in a mammal comprising administering to the  
2 mammal an effective amount of a compound according to any one of claims 1-30.

1 33. A method of treating a fungal infection in a mammal comprising administering to the  
2 mammal an effective amount of a compound according to any one of claims 1-30.

- 1    34.    A method of treating a parasitic disease in a mammal comprising administering to the
- 2    mammal an effective amount of a compound according to any one of claims 1-30.
  
- 1    35.    A method of treating a proliferative disease in a mammal comprising administering to the
- 2    mammal an effective amount of a compound according to any one of claims 1-30.
  
- 1    36.    A method of treating a viral infection in a mammal comprising administering to the
- 2    mammal an effective amount of a compound according to any one of claims 1-30.
  
- 1    37.    A method of treating an inflammatory disease in a mammal comprising administering to
- 2    the mammal an effective amount of a compound according to any one of claims 1-30.
  
- 1    38.    A method of treating a gastrointestinal motility disorder in a mammal comprising
- 2    administering to the mammal an effective amount of a compound according to any one of claims
- 3    1-30.
  
- 1    39.    The method according to any one of claims 32-38 wherein the compound is administered
- 2    orally, parentally, or topically.
  
- 1    40.    A method of synthesizing a compound according to any of claims 1-30.
  
- 1    41.    A medical device containing a compound according to any one of claims 1-30.
  
- 1    42.    The medical device according to claim 41, wherein the device is a stent.